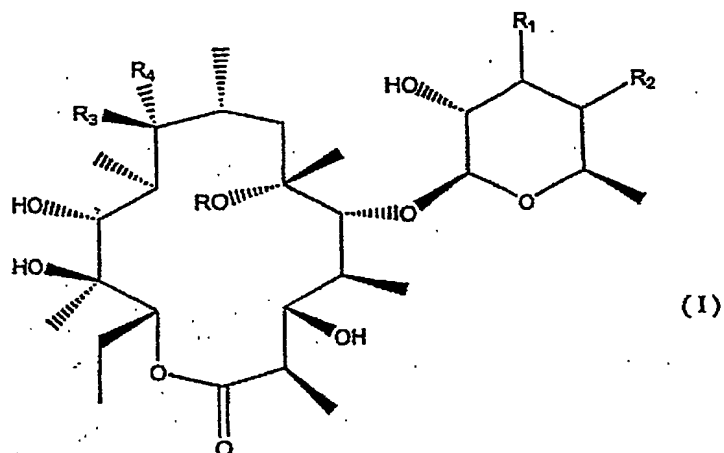


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Claims

1. A compound of formula

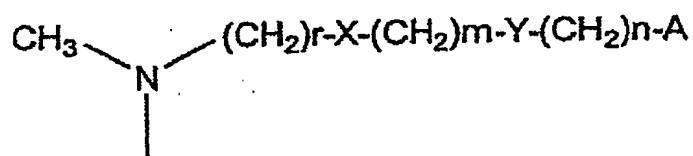


wherein

R is a hydrogen atom or a methyl group;

5 R₁ is a hydrogen atom, an N,N-di(C₁-C₃)alkylamino group, an N,N-di(C₁-C₃)alkylamino-N-oxide group, an N-(C₁-C₃)alkyl-N-benzylamino group, an N-(C₁-C₄)acyl-N-(C₁-C₃)alkylamino group, an N-[N,N-dimethylamino(C₁-C₄)alkylamino]acetyl-N-(C₁-C₃)alkylamino group

10 or a chain of formula



wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from
 15 nitrogen, oxygen and sulphur;

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X is O, S, SO, SO₂ and NR₆, and R₆ is a hydrogen atom, a linear or branched C₁-C₃ alkyl, a C₁-C₃ alkoxy carbonyl group or a benzyloxy carbonyl group;

Y is a C₆H₄ group, a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur or is O, S, SO, SO₂ or NR₆ where R₆ has the meanings given above;

r is an integer from 1 to 3;

m is an integer from 1 to 6;

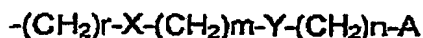
n is an integer from 0 to 2;

or R₁ forms a bond together with R₂;

R₂ is a hydrogen atom or forms a bond together with R₁;

R₃ is a hydroxy group or forms a group =N-O-R₅ together with R₄,

and R₅ is a hydrogen atom, a linear or branched C₁-C₅ alkyl, a benzyl optionally substituted with one or two substituents selected from nitro, hydroxy, carboxy, amino, linear or branched C₁-C₅ alkyl, C₁-C₄ alkoxy carbonyl groups, aminocarbonyl groups or cyano groups or a chain of formula



wherein

r, m, n, X, Y and A have the meanings given above;

R₄ is a hydrogen atom or forms a group =N-O-R₅ together with R₃,

and R₅ has the meanings given above;

and the pharmaceutically acceptable salts thereof,

~~provided, however, that R₁ is not a dimethylamino group when R₃ is hydroxy, and both R₂ and R₄ are a hydrogen atom.~~

2. A compound according to Claim 1, wherein the oxime group that may be present in position 9 is of E configuration.

3. A compound according to Claim 1, wherein R₁ is a hydrogen atom, an N-(C₁-C₃)alkyl-N-methylamino group, an N-(C₁-C₃)alkyl-N-

Provided, however, that

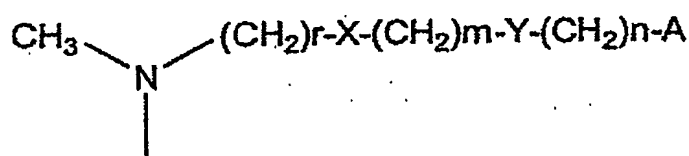
R₁ is not a dimethylamino group when R₃ is hydroxy, and both R₂ and R₄ are a hydrogen atom;

R₁ is not a dimethylamino group when in the substituent =N-O-R₅ in 9-position, R₅ is a hydrogen atom, a linear or branched C₁-C₅ alkyl, an unsubstituted benzyl group, or a chain -(CH₂)_r-X-(CH₂)_m-Y-(CH₂)_n-A where r is 1, X is O, m is 2, Y is O, n is 1, and A is H;

R₁ is not a methylethylamino group when in the substituent =N-O-R₅ in 9-position, R₅ is a linear or branched C₁-C₅ alkyl or an unsubstituted benzyl group;

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methyamino-N-oxide group, an N-benzyl-N-methylamino group, an N-(C₁-C₄)acyl-N-methylamino group, an N-[N,N-dimethylamino(C₁-C₄)alkylamino]acetyl-N-methylamino group or a chain of formula



5 wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur;

10 X is O or NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl;

Y, when n is 0, is a C₆H₄ group or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur; or, when n is other than 0, is O or NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl;

15 r is an integer from 1 to 3;

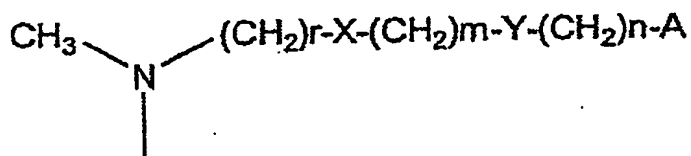
m is the integer 1 or 2;

n is an integer from 0 to 2;

or R₁ forms a bond together with R₂.

4. A compound according to Claim 3, wherein R₁ is a hydrogen atom,
20 an N,N-dimethylamino-N-oxide group, an N-benzyl-N-methylamino group, an N-acetyl-N-methylamino group, an N-[N,N-dimethylamino(C₁-C₂)alkylamino]acetyl-N-methylamino group or a chain of formula

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wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole;

X is O or NR₆ and R₆ is a hydrogen atom;

Y is, when n is 0, a C₆H₄ group or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole; or,

when n is 1, NR₆ and R₆ is a hydrogen atom;

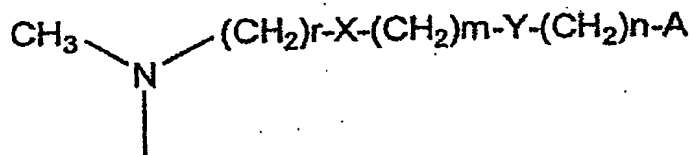
r is an integer from 1 to 3;

m is the integer 1 or 2;

n is the integer 0 or 1;

or R₁ forms a bond together with R₂.

5. A compound according to Claim 4, wherein R₁ is a hydrogen atom, an N,N-dimethylamino-N-oxide group, an N-benzyl-N-methylamino group, an N-acetyl-N-methylamino group, an N-[N,N-dimethylaminoethylamino]acetyl-N-methylamino group or a chain of formula



wherein

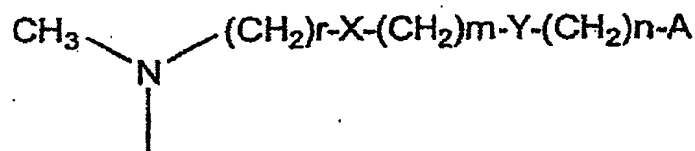
A is a hydrogen atom, a phenyl or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole;

X is NR₆ and R₆ is a hydrogen atom;

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Y is, when n is 0, a C₆H₄ group or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole; or, when n is 1, NR₆ and R₆ is a hydrogen atom; or R₁ forms a bond together with R₂.

- 5 6. A compound according to Claim 1, wherein R₃ is a hydroxy group and R₄ is a hydrogen atom provided, however, that R₁ is not a dimethylamino group.
7. A compound according to Claim 6, wherein R₁ is a hydrogen atom, an N-(C₁-C₃)alkyl-N-methylamino group, an N-(C₁-C₃)alkyl-N-methylamino-N-oxide group, an N-benzyl-N-methylamino group, an 10 N-(C₁-C₄)acyl-N-methylamino group, an N-[N,N-dimethylamino(C₁-C₄)alkylamino]acetyl-N-methylamino group or a chain of formula

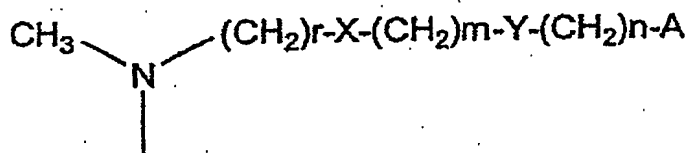


wherein

- 15 A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur; X is O or NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl;
- 20 Y, when n is 0, is a C₆H₄ group or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur; or, when n is other than 0, is O or NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl; r is an integer from 1 to 3;
- 25 m is the integer 1 or 2; n is an integer from 0 to 2; or R₁ forms a bond together with R₂.

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8. A compound according to Claim 7, wherein R_1 is a hydrogen atom, an N,N-dimethylamino-N-oxide group, an N-benzyl-N-methylamino group, an N-acetyl-N-methylamino group, an N-[N,N-dimethylamino(C_1 - C_2)alkylamino]acetyl-N-methylamino group or a chain of formula



wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole;

X is O or NR_6 and R_6 is a hydrogen atom;

Y is, when n is 0, a C_6H_4 group or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole; or,

when n is 1, NR_6 and R_6 is a hydrogen atom;

r is an integer from 1 to 3;

m is the integer 1 or 2;

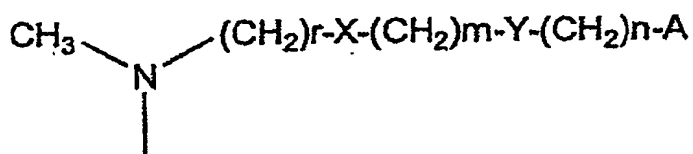
n is the integer 0 or 1;

or R_1 forms a bond together with R_2 .

9. A compound according to Claim 8, wherein R_1 is a hydrogen atom, an N,N-dimethylamino-N-oxide group, an N-benzyl-N-methylamino group, an N-acetyl-N-methylamino group, an N-[N,N-dimethylaminoethylamino]acetyl-N-methylamino group or a chain of formula

25

- 80 -



wherein

A is a hydrogen atom, a phenyl or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole;

5 X is NR₆ and R₆ is a hydrogen atom;

Y is, when n is 0, a C₆H₄ group or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole; or, when n is 1, NR₆ and R₆ is a hydrogen atom;

or R₁ forms a bond together with R₂.

10 10. A compound according to Claim 1, wherein R₃ forms an =N-O-R₅ group together with R₄, wherein R₅ is a hydrogen atom, a linear or branched (C₁-C₃)alkyl, a benzyl optionally substituted with one or two substituents selected from nitro, hydroxy, carboxy, amino, linear or branched (C₁-C₃) alkyl and cyano or a chain of formula

15 $\text{-(CH}_2)_r\text{-X-(CH}_2)_m\text{-Y-(CH}_2)_n\text{-A}$

wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur;

20 X is O or NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl;

Y, when n is 0, is a C₆H₄ group or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur; or, when n is other than 0, is O or

25 NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl;

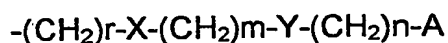
r is the integer 1 or 2;

m is an integer from 1 to 6;

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n is an integer from 0 to 2.

11. A compound according to Claim 10, wherein R₅ is a hydrogen atom, a methyl, a benzyl or a chain of formula



5 wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole;

X is O or NR₆ and R₆ is a hydrogen atom;

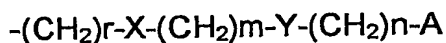
- 10 Y is, when n is 0, a C₆H₄ group or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole; or, when n is 1, NR₆ and R₆ is a hydrogen atom;

r is 2;

- 15 m is an integer from 1 to 6;

n is the integer 0 or 1.

12. A compound according to Claim 11, wherein R₅ is a hydrogen atom, a methyl, a benzyl or a chain of formula



20 wherein

A is a hydrogen atom, a phenyl or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole;

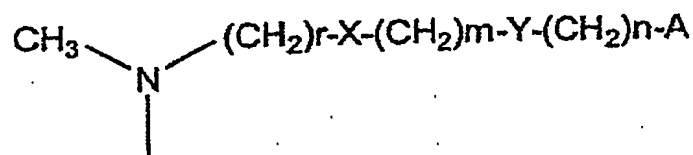
X is NR₆ and R₆ is a hydrogen atom;

- 25 Y is, when n is 0, a C₆H₄ group or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole; or, when n is 1, NR₆ and R₆ is a hydrogen atom.

13. A compound according to Claim 1, wherein R₁ is a hydrogen atom, an N-(C₁-C₃)alkyl-N-methylamino group, an N-(C₁-C₃)alkyl-N-methylamino-N-oxide group, an N-benzyl-N-methylamino group, an

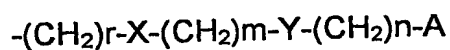
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N-(C₁-C₄)acyl-N-methylamino group, an N-[N,N-dimethylamino(C₁-C₄)alkylamino]acetyl-N-methylamino group or a chain of formula



wherein

- 5 A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole;
X is O or NR₆ and R₆ is a hydrogen atom;
Y is, when n is 0, a C₆H₄ group or a five- or six-membered
10 heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole; or, when n is 1, NR₆ and R₆ is a hydrogen atom;
r is an integer from 1 to 3;
m is the integer 1 or 2;
15 n is the integer 0 or 1;
or R₁ forms a bond together with R₂;
simultaneously, R₃ forms a group =N-O-R₅ together with R₄,
wherein R₅ is a hydrogen atom, a linear or branched (C₁-C₃) alkyl, a benzyl optionally substituted with one or two substituents selected
20 from nitro, hydroxy, carboxy, amino, linear or branched (C₁-C₃) alkyl and cyano or a chain of formula



wherein

- A is a hydrogen atom, a phenyl or a five- or six-membered
25 heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur;

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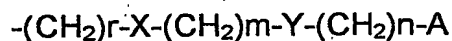
X is O or NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl;

Y, when n is 0, is a C₆H₄ group or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur; or, when n is other than 0, is O or NR₆ and R₆ is a hydrogen atom or a linear or branched C₁-C₃ alkyl;
r is the integer 1 or 2;

m is an integer from 1 to 6;

n is an integer from 0 to 2.

- 10 14. A compound according to Claim 13, wherein R₅ is a hydrogen atom, a methyl, a benzyl or a chain of formula



wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole;

X is O or NR₆ and R₆ is a hydrogen atom;

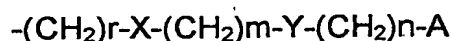
Y is, when n is 0, a C₆H₄ group or a five- or six-membered heteroaryl ring selected from pyrrole, thiophene, furan, imidazole, oxazole, thiazole, pyridine, pyrimidine, triazole and thiadiazole; or, when n is 1, NR₆ and R₆ is a hydrogen atom;

r is 2;

m is an integer from 1 to 6;

n is the integer 0 or 1.

- 25 15. A compound according to Claim 14, wherein R₅ is a hydrogen atom, a methyl, a benzyl or a chain of formula



wherein

A is a hydrogen atom, a phenyl or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole;

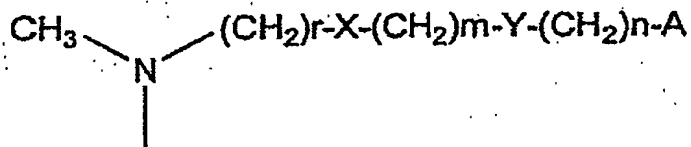
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X is NR_6 and R_6 is a hydrogen atom;

Y is, when n is 0, a C_6H_4 group or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole; or, when n is 1, NR_6 and R_6 is a hydrogen atom.

- 5 16. A compound according to Claim 15, wherein R_1 is a hydrogen atom, an N,N-dimethylamino group, an N,N-dimethylamino-N-oxide group, an N-benzyl-N-methylamino group, an N-acetyl-N-methylamino group, an N-[N,N-dimethylamino($\text{C}_1\text{-C}_2$)alkylamino]acetyl-N-methylamino group or a chain of formula



10

wherein

A is a hydrogen atom, a phenyl or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole;

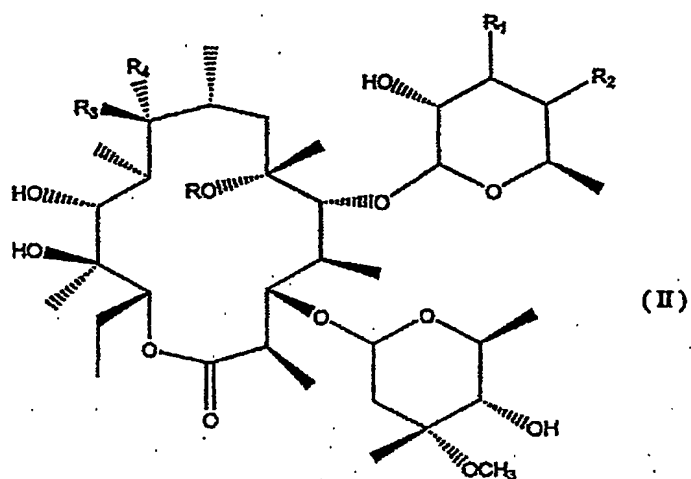
X is NR_6 and R_6 is a hydrogen atom;

- 15 Y is, when n is 0, a C_6H_4 group or a heteroaryl ring selected from thiophene, furan, thiazole, pyridine and triazole; or, when n is 1, NR_6 and R_6 is a hydrogen atom;

or R_1 forms a bond together with R_2 .

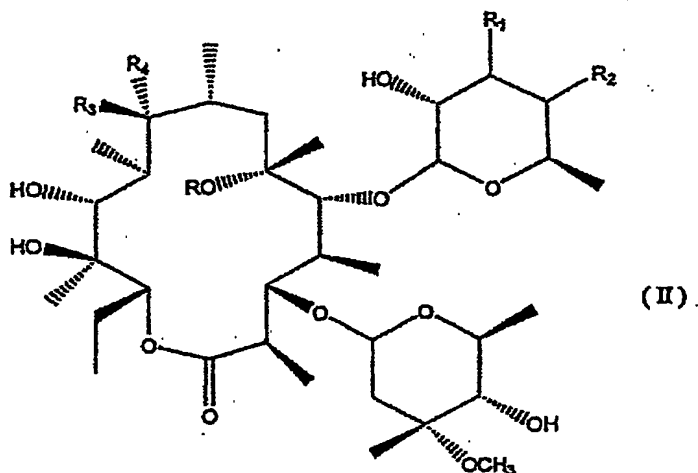
- 20 17. A process for preparing a compound according to Claim 1, characterized in that the L-cladinose moiety in 3 position is removed from the erythromycin A compounds of formula

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wherein R, R₁, R₂, R₃ and R₄ are defined as in Claim 1;
via a hydrolysis reaction.

18. Process according to Claim 17, wherein in formula II R₃ is a hydroxy group and R₄ is a hydrogen atom.
19. Process according to Claim 17, wherein the removal of the cladinoside is performed via an acid hydrolysis reaction catalyzed in the presence of a mineral acid and a protic organic solvent.
20. A compound of formula



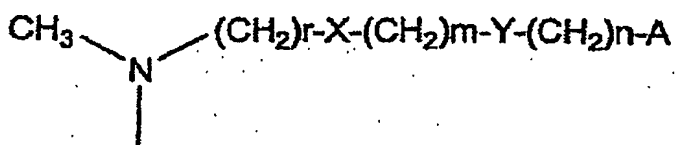
10

wherein

- 86 -

R is a hydrogen atom or a methyl group;

R₁ is a hydrogen atom, an N,N-di(C₁-C₃)alkylamino group, an N,N-di(C₁-C₃)alkylamino-N-oxide group, an N-(C₁-C₃)alkyl-N-benzylamino group, an N-(C₁-C₄)acyl-N-(C₁-C₃)alkylamino group,
 5 an N-[N,N-dimethylamino(C₁-C₄)alkylamino]acetyl-N-(C₁-C₃)alkylamino group
 or a chain of formula



wherein

10 A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur;

X is O, S, SO, SO₂ and NR₆, and R₆ is a hydrogen atom, a linear or branched C₁-C₃ alkyl, a C₁-C₃ alkoxy carbonyl group or a
 15 benzyloxycarbonyl group;

Y is a C₆H₄ group, a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur or is O, S, SO, SO₂ or NR₆ where R₆ has the meanings given above;

20 r is an integer from 1 to 3;

m is an integer from 1 to 6;

n is an integer from 0 to 2;

or R₁ forms a bond together with R₂;

R₂ is a hydrogen atom or forms a bond together with R₁;

25 R₃ is a hydroxy group;

R₄ is a hydrogen atom;

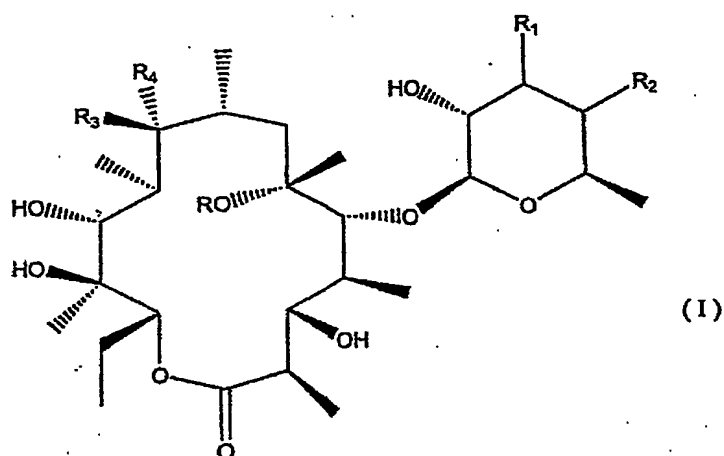
and the pharmaceutically acceptable salts thereof;

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provided, however, that (i) R₁ is not an N,N-dimethyl amino group, or (ii) R₁ is not an N,N-dimethyl amino-N-oxide group when R is a hydrogen atom.

- 5 21. A compound according to Claim 20, wherein R is a hydrogen atom and R₁ forms a bond together with R₂.
22. A compound according to Claim 20, wherein R is a hydrogen atom and R₁ is an N-benzyl-N-methylamino group.
23. A compound according to Claim 20, wherein R is a hydrogen atom and R₁ is an N-acetyl-N-methylamino group.
- 10 24. A compound according to Claim 20, wherein R is a hydrogen atom and R₁ is an N-[N,N-dimethylaminoethylamino]acetyl-N-methyl amino group.
25. A compound according to Claim 20, wherein R is a hydrogen atom and R₁ is an N-methyl-N-3-[(2-thiazolylmethyl)amino]propylamino group.
- 15 26. A compound according to Claim 20, wherein R is a hydrogen atom and R₁ is an N-2-[2-[(2-thiazolylmethyl)amino]ethylamino]ethyl-N-methylamino group.
27. A compound according to Claim 20, wherein R is a hydrogen atom and R₁ is an N-2-[2-(benzylamino)ethylamino]ethyl-N-methylamino group.
- 20 28. A compound of formula de(N-methyl)-9-dihydroerythromycin A.
29. A compound of formula de(N-methyl)-descladinosyl-9-dihydro-erythromycin A.
- 25 30. A pharmaceutical composition comprising a therapeutically effective amount of a compound of formula

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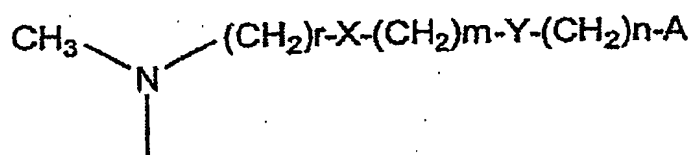


wherein

R is a hydrogen atom or a methyl group;

R₁ is a hydrogen atom, an N,N-di(C₁-C₃)alkylamino group, an N,N-di(C₁-C₃)alkylamino-N-oxide group, an N-(C₁-C₃)alkyl-N-benzylamino group, an N-(C₁-C₄)acyl-N-(C₁-C₃)alkylamino group, an N-[N,N-dimethylamino(C₁-C₄)alkylamino]acetyl-N-(C₁-C₃)alkylamino group

or a chain of formula



wherein

A is a hydrogen atom, a phenyl or a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur;

X is O, S, SO, SO₂ and NR₆, and R₆ is a hydrogen atom, a linear or branched C₁-C₃ alkyl, a C₁-C₃ alkoxycarbonyl group or a benzyloxycarbonyl group;

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Y is a C₆H₄ group, a five- or six-membered heteroaryl ring having from one to three hetero atoms selected from nitrogen, oxygen and sulphur or is O, S, SO, SO₂ or NR₆ where R₆ has the meanings given above;

5 r is an integer from 1 to 3;

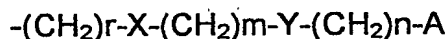
m is an integer from 1 to 6;

n is an integer from 0 to 2;

or R₁ forms a bond together with R₂;

R₂ is a hydrogen atom or forms a bond together with R₁;

10 R₃ is a hydroxy group or forms a group =N-O-R₅ together with R₄, and R₅ is a hydrogen atom, a linear or branched C₁-C₅ alkyl, a benzyl optionally substituted with one or two substituents selected from nitro, hydroxy, carboxy, amino, linear or branched C₁-C₅ alkyl, C₁-C₄ alkoxycarbonyl groups, aminocarbonyl groups or cyano groups or a chain of formula



wherein

r, m, n, X, Y and A have the meanings given above;

20 R₄ is a hydrogen atom or forms a group =N-O-R₅ together with R₃, and R₅ has the meanings given above;

or of a pharmaceutically acceptable salts thereof,

together with a pharmaceutically acceptable vehicle.

31. A pharmaceutical composition according to Claim 30 for use in treating inflammatory diseases.

25 32. A pharmaceutical composition according to Claim 30 for use in treating respiratory diseases.